```
=> d his

     (FILE 'HOME' ENTERED AT 14:22:19 ON 20 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 14:22:52 ON 20 MAR 2005
L1             STRUCTURE UPLOADED
L2               1 S L1 SSS SAM
L3              20 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 14:23:34 ON 20 MAR 2005
L4              10 S L3/THU

     FILE 'REGISTRY' ENTERED AT 14:30:53 ON 20 MAR 2005

     FILE 'CAPLUS' ENTERED AT 14:31:05 ON 20 MAR 2005

     FILE 'CAPLUS' ENTERED AT 14:31:30 ON 20 MAR 2005

     FILE 'REGISTRY' ENTERED AT 14:31:49 ON 20 MAR 2005

     FILE 'CAPLUS' ENTERED AT 14:32:21 ON 20 MAR 2005

     FILE 'REGISTRY' ENTERED AT 14:37:29 ON 20 MAR 2005

     FILE 'CAPLUS' ENTERED AT 14:37:38 ON 20 MAR 2005

=> d l1
L1 HAS NO ANSWERS
L1                 STR
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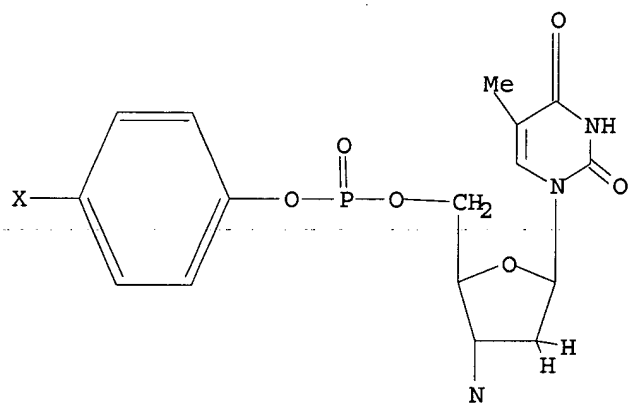


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G1 O,S
G2 C,O,S,N
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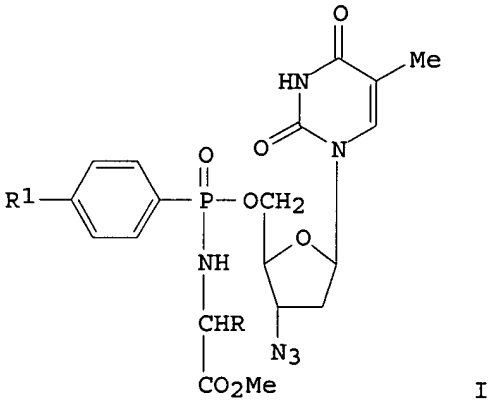
Structure attributes must be viewed using STN Express query preparation.

AN 119:9086 CA
TI Intracellular delivery of bioactive AZT nucleotides by aryl phosphate derivatives of AZT
AU McGuigan, Christopher; Pathirana, Ranjith N.; Balzarini, Jan; De Clercq, Erik
CS Dep. Chem., Univ. Southampton, Highfield/Southampton, SO9 5NH, UK
SO Journal of Medicinal Chemistry (1993), 36(8), 1048-52
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
GI



I

AB Novel aryl phosphate derivs., e.g. I (R = H, CH2Ph, R1 = H; R = Me, R1 = Me, Et, Pr, F, OMe), have been prepared by phosphorochloridate chemical These materials were designed to act as membrane-soluble prodrugs of the bioactive free nucleotides. In vitro evaluation revealed the compds. to have a pronounced, selective anti-HIV activity in CEM cells; the magnitude of the biol. effect varied considerably depending on the nature of the phosphate blocking group. Moreover, several of the compds. retain marked antiviral activity in TK- (thymidine kinase-deficient) mutant CEM cells in which AZT was virtually inactive. These data strongly support the hypothesis that the AZT phosphate derivs. exert their biol. effects via intracellular release of AZT nucleotide forms and suggest that the potential of nucleoside drugs in antiviral chemotherapy may be enhanced by suitable nucleotide delivery strategies.
ST AZT nucleotide prepn virucide; phosphate AZT prepn virucide
IT Nucleotides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(AZT derivs., preparation and antiviral activity of)
IT Virucides and Virustats
(AZT nucleotides as)
IT 30516-87-1, 3'-Azidothymidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation of)
IT 147907-43-5P 147907-44-6P 147907-45-7P 147907-46-8P 147907-47-9P
147907-48-0P 147907-49-1P 147907-50-4P 147907-51-5P 147907-52-6P
147975-50-6P 147975-51-7P 147975-52-8P 147975-53-9P 147975-54-0P
147975-55-1P 147975-56-2P 147975-57-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation and antiviral activity of)

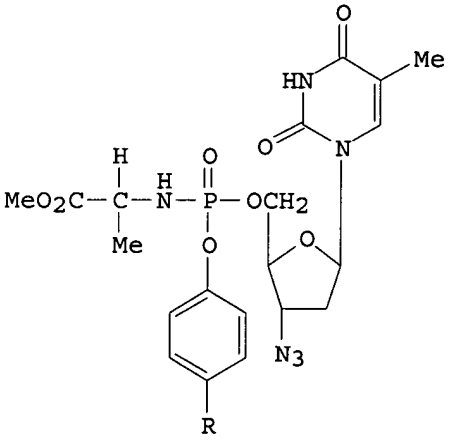
IT 878-17-1P, p-Methylphenyl phosphorodichloridate 147907-34-4P, p-Methylphenylmethoxyalanyl phosphorochloridate 147907-35-5P, p-Ethylphenyl methoxyalanyl phosphorochloridate 147907-36-6P, p-Propylmethyl methoxyalaninyl phosphorochloridate 147907-37-7P, p-Pentylphenyl methoxyalaninyl phosphorochloridate 147907-38-8P, p-Methoxyphenyl methoxyalaninyl phosphorochloridate 147907-39-9P, p-Fluorophenyl methoxyalaninyl phosphorochloridate 147907-40-2P, Phenyl methoxyglycinyl phosphorochloridate 147907-41-3P, Phenyl methoxyrescinyl phosphorochloridate 147907-42-4P, Phenyl methoxyphenylalaninyl phosphorochloridate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with AZT)

IT 2491-20-5, L-Alanine methyl ester hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of AZT nucleotides)

IT 106-44-5, p-Methylphenol, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosphoryl chloride)

=>

AN 119:139671 CA
TI Aryl phosphate derivatives of AZT inhibit HIV replication in cells where the nucleoside is poorly active
AU McGuigan, Christopher; Pathirana, Ranjith N.; Mahmood, Naheed; Hay, Alan J.
CS Dep. Chem., Univ. Southampton, Southampton, SO9 5NH, UK
SO Bioorganic & Medicinal Chemistry Letters (1992), 2(7), 701-4
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 34
GI



I

AB Phosphate derivs. of the anti-HIV nucleoside analog AZT, e.g. I (R = H, Et, F, MeO, NO2), were prepared as potential pro-drugs of the bio-active free nucleotide. In marked contrast to the parent nucleoside AZT, several of the derivs. are active inhibitors of HIV in kinase-deficient cells. The precise activity varies greatly with the phosphate structure, data consistent with a mode of action involving intracellular hydrolysis to release the bio-active nucleotide forms.
ST AZT aryl phosphate prepn virucide; azidodeoxy nucleotide amino acid prepn virucide; structure activity virucide AZT phosphate analog
IT Virucides and Virustats
(AZT aryl phosphate amino acids as)
IT Nucleotides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(AZT phosphate amino acids, preparation and antiviral activity of)
IT Molecular structure-biological activity relationship
(virucidal activity, of AZT phosphate analogs)
IT 142629-80-9 142629-82-1 147907-35-5 147907-38-8 147907-39-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with AZT)
IT 30516-87-1, 3'-Azidothymidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with amino acids)
IT 142629-81-0P 142629-83-2P 149560-32-7P 149560-33-8P 149560-34-9P 149560-35-0P 149560-36-1P 149560-37-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation and antiviral activity of)

L3 ANSWER 10 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 147975-55-1 REGISTRY
ED Entered STN: 08 Jun 1993
CN L-Alanine, N-[3'-azido-3'-deoxy-P-(4-fluorophenyl)-5'-thymidylyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)
MF C20 H24 F N6 O8 P
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C4O	OC4	5	C4O	16.138.1	1
C6	C6	6	C6	46.150.18	1
C4N2	NCNC3	6	C4N2	46.195.28	1